

TRANSLATION

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 0000055413	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. PCT/EP2005/002427	International filing date (<i>day/month/year</i>) 08.03.2005	Priority date (<i>day/month/year</i>) 10.03.2004
International Patent Classification (IPC) or national classification and IPC C07D487/04, A01N43/90		
Applicant BASF Aktiengesellschaft		

1.	This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.	
2.	This REPORT consists of a total of _____ sheets, including this cover sheet.	
3.	This report is also accompanied by ANNEXES, comprising: a. <input checked="" type="checkbox"/> (sent to the applicant and to the International Bureau) a total of <u>2</u> sheets, as follows: <input checked="" type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. b. <input type="checkbox"/> (sent to the International Bureau only) a total of _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).	
4.	This report contains indications relating to the following items: <input checked="" type="checkbox"/> Box No. I Basis of the report <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input type="checkbox"/> Box No. VIII Certain observations on the international application	

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

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Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This report is based on translations from the original language into the following language _____, which is the language of a translation furnished for the purposes of:

- ☐ international search (Rule 12.3 and 23.1(b))
☐ publication of the international application (Rule 12.4)
☐ international preliminary examination (Rule 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

☐ the international application as originally filed/furnished

☒ the description:

pages 1-23 as originally filed/furnished

pages* _____ received by this Authority on _____

pages* _____ received by this Authority on _____

☒ the claims:

nos. _____ as originally filed/furnished

nos.* _____ as amended (together with any statement) under Article 19

nos.* 1-10 received by this Authority on 13.12.2005 with letter

nos.* _____ received by this Authority on of 12.12.2005

☐ the drawings:

sheets _____ as originally filed/furnished

sheets* _____ received by this Authority on _____

sheets* _____ received by this Authority on _____

☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☒ The amendments have resulted in the cancellation of:

☐ the description, pages _____

☒ the claims, nos. 4-6

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

☐ the description, pages _____

☐ the claims, nos. _____

☐ the drawings, sheets/figs _____

☐ the sequence listing (*specify*): _____

☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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International application No.

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims	1-10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)

V.1 Cited documents

D1: EP-A-0 141 317 (BASF AKTIENGESELLSCHAFT)
15 May 1985 (1985-05-15)

D2: WO 03/009687 A (BASF AKTIENGESELLSCHAFT);
TORMO I BLASCO, JORDI; SAUTER, HUBERT; MUELLE)
6 February 2003 (2003-02-06)

D3: EP-A-0 215 382 (BASF AKTIENGESELLSCHAFT)
25 March 1987 (1987-03-25)

D4: GB-A-1 148 629 (VEB. DEUTSCHES HYDRIERWERK
RODLERBEN) 16 April 1969 (1969-04-16)

D5: EP-A-0 770 615 (AMERICAN CYANAMID COMPANY;
BASF AKTIENGESELLSCHAFT) 2 May 1997
(1997-05-02)

D6: EP-A-0 614 113 (MITSUBISHI PAPER MILLS, LTD;
MITSUBISHI PAPER MILLS LTD) 7 September 1994
(1994-09-07).

The same designations will be used throughout the procedure.

V.2 Novelty

The subject matter of claims 1-10 is encompassed in

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citations and explanations supporting such statement

generic terms by the subject matter of claims 1-6 of document D1. However, there are no specific individual compounds in D1 which fall within the present claims, since the R^2 radical in D1 is verified only as CH_3 , $n-C_3H_7$ or $n-C_4H_9$.

The subject matter of the present claims differs from the disclosure in D2 by the definition of the R^2 group, which corresponds to the X group in D2.

The subject matter of the present claims differs from the compounds disclosed in D3 in that the radical corresponding there to the R^1 group always bears an aryl group.

In the compounds disclosed in D4, the alkyl group corresponding to R^1 only has a maximum of 4 carbon atoms. The intermediates of the formulae IV and V claimed in the application are encompassed in generic terms by the subject matter disclosed in D5. In the compounds mentioned specifically in D5, however, the radical corresponding to the R^1 group is phenyl. D6 discloses two compounds which differ from the intermediates of the formula IV only with regard to the R^2 radical.

The subject matter of all present claims is therefore novel.

V.3 Inventive step

V.3.1 According to the description, the problem underlying the application is considered to be that of providing 5,6-dialkyl-7-aminotriazolopyrimidines which are superior in their fungicidal action to the similar compounds known from D1.

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V.3.2 Relevant prior art for the subject matter of claim 1 is the documents D1 to D3, since they disclose fungicidally active 7-aminotriazolopyrimidines. The structurally closest prior art is D1, since it discloses compounds which, with regard to the R^2 group, differ from the compounds now claimed, which bear an ethyl, ethenyl or allyl group, in that they bear an n-propyl group or an n-butyl group (D1, compounds 21, 23, 42 and 48). The compounds according to the application which bear ethyl as the R^2 group are encompassed in general terms even by D1 (see D1, page 2 lines 1-5).

V.3.3 A person skilled in the art faced with the problem defined above would certainly be induced by the general disclosure from D1 to prepare novel compounds which, though, are within the generic disclosure of D1, while being able to assume that these compounds would likewise have fungicidal properties. This is precisely what has been done in the present case, and it is therefore unsurprising that the compounds according to the application actually have fungicidal action.

V.3.4 However, the applicant has submitted tests with which particular compounds according to the application are compared to the structurally closest compounds from D1. It is evident from these tests that some preferred embodiments of the compounds according to the application, specifically those now claimed in which R^2 has particular definitions, have an unexpectedly higher activity. When, in compounds from D1, ethyl is introduced for the methyl radical which corresponds to R^2 , the compounds thus formed have a significantly

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improved activity against late blight in tomatoes. The replacement of propyl by allyl also leads to significantly improved action. Compounds according to the application which bear the radicals mentioned therefore solve the problem defined above in a non-obvious manner. An inventive step can therefore be acknowledged for them.

V.3.5 An improved activity as a result of the R^2 radical = ethenyl has not been shown specifically and is therefore doubtful. Introduction of the R^2 radical = ethenyl has therefore solved a problem different from that defined above, specifically merely the provision of **further** (not necessarily improved) fungicidally active 5,6-dialkyl-7-aminotriazolopyrimidines. However, the ethenyl derivatives are neither disclosed nor suggested in D1, such that the involvement of an inventive step can be acknowledged for these compounds too owing to their non-obvious structure. However, it is emphasized that, owing to the different technical problems solved (*compounds where R^2 = ethyl or allyl have an unexpected technical effect, namely improved action; compounds where R^2 = ethenyl have a non-obvious structure and therefore constitute further compounds not suggested by the prior art*), the ethyl and allyl derivatives on the one hand and the ethenyl derivatives on the other hand are based on two different inventive concepts, as a result of which the subject matter of the claims must be designated as **lacking unity of invention**.

V.3.6 It remains to be emphasized that inventive step can be acknowledged for substance claims 1-4 and the use claims 8 to 10.

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V.3.7 The processes disclosed by process claims 5 and 7 are analogous to those disclosed in D1; the intermediates encompassed by claim 6 too are analogous to those from D1. However, an inventive step can likewise be acknowledged for claims 5 and 7, and also 6, since the intermediates claimed are converted to inventive end products with the aid of the processes claimed.

V.4 Industrial applicability

The subject matter of claims 1-10 is industrially applicable.